

(FILE 'HOME' ENTERED AT 15:56:12 ON 08 DEC 2006)

FILE 'REGISTRY' ENTERED AT 15:56:24 ON 08 DEC 2006

FILE 'REGISTRY' ENTERED AT 16:06:15 ON 08 DEC 2006

L1               STRUCTURE UPLOADED  
L2               0 S L1 SSS SAM  
L3               0 S L1 SSS FUL  
L4               2 S CICLESONIDE

FILE 'CAPLUS' ENTERED AT 16:07:25 ON 08 DEC 2006

L5               198 S L4  
L6               9 S L5 AND (CICLESONIDE(P) (SOLUTION))  
L7               3 S L6 AND FORMOTEROL  
L8               3 DUPLICATE REMOVE L7 (0 DUPLICATES REMOVED)

FILE 'CAPLUS, MEDLINE, USPATFULL' ENTERED AT 16:11:21 ON 08 DEC 2006

L9               835 S CICLESONIDE  
L10              40 S L9 AND (CICLESONIDE(P) (SOLUTION))  
L11              8 S L10 AND FORMOTEROL  
L12              8 DUPLICATE REMOVE L11 (0 DUPLICATES REMOVED)

L10 ANSWER 1 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN

TI Pharmaceutical solution formulations for pressurized metered dose inhalers

AB A method for delivering 2 or more active drug substances to the lungs by inhalation from a single pressurized metered dose inhaler product, the inhaler containing a HFA/cosolvent based solution formulation wherein all the active drug substances are fully dissolved in the formulation is disclosed. Thus, a matrix of formulations containing (12 µg/µL) formoterol fumarate was prepared in HFA 134a containing 12% EtOH. The solns. were stable for 2 years stored at 4°.

ACCESSION NUMBER: 2006:1209938 CAPLUS

TITLE: Pharmaceutical solution formulations for pressurized metered dose inhalers

INVENTOR(S): Lewis, David Andrew; Meakin, Brian John; Brambilla, Gaetano

PATENT ASSIGNEE(S): Chiesi Farmaceutici S.p.A., Italy

SOURCE: U.S. Pat. Appl. Publ., 15pp., Cont.-in-part of U.S. Ser. No. 289,479.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006257324	A1	20061116	US 2006-408026	20060421
WO 2001089480	A1	20011129	WO 2000-EP4635	20000522
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 2002025299	A1	20020228	US 2001-860689	20010521
US 6716414	B2	20040406		
US 2004047809	A1	20040311	US 2003-640005	20030814
US 7018618	B2	20060328		
US 2006083693	A1	20060420	US 2005-289479	20051130
PRIORITY APPLN. INFO.:			WO 2000-EP4635	A 20000522
			US 2001-860689	A1 20010521
			US 2003-640005	A1 20030814
			US 2005-289479	A2 20051130

L10 ANSWER 2 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN

TI The newly developed inhaled corticosteroid ciclesonide for the treatment of asthma

AB Ciclesonide is the most recently developed inhaled corticosteroid for the treatment of asthma to enter global markets. It has been formulated as an aerosol soln. in a metered dose inhaler with hydrofluoralkane. The mass median aerodynamic diameter of aerosolized ciclesonide is 1 - 2 µm, providing excellent lung deposition characteristics. Ciclesonide can undergo reversible esterification in the lungs, possibly allowing once-daily dosing, and is highly protein bound, possibly leading to reduced systemic side effects. Clin. trials suggest that ciclesonide effectively controls asthma and has a favorable safety profile.

ACCESSION NUMBER: 2006:1028799 CAPLUS

TITLE: The newly developed inhaled corticosteroid ciclesonide for the treatment of asthma

AUTHOR(S): Colice, Gene L.

CORPORATE SOURCE: Pulmonary, Critical Care and Respiratory Services,

SOURCE: Washington Hospital Center, Washington, DC, 20010, USA  
Expert Opinion on Pharmacotherapy (2006), 7(15),  
2107-2117  
CODEN: EOPHF7; ISSN: 1465-6566  
PUBLISHER: Informa Healthcare  
DOCUMENT TYPE: Journal; General Review  
LANGUAGE: English  
REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Novel combination of ciclesonide and olopatadine  
AB The present invention relates to a composition for the treatment of allergic  
rhinitis and/or allergic conjunctivitis comprising as active ingredients a  
combination of (i) olopatadine, a pharmaceutically acceptable salt, a  
solvate or physiol. functional derivative thereof, and (ii)  
ciclesonide, pharmaceutically acceptable salts, epimers, solvates  
or physiol. functional derivs. thereof. Thus, a nasal spray contained  
ciclesonide 0.0%, olopatadine hydrochloride 0.44%, microcryst.  
cellulose and CM-cellulose sodium 1.70%, hydroxypropyl Me cellulose 0.10%,  
hydrochloric acid as needed to pH 3.5-6.5, and water to 100%. Each 100 mg  
spray delivered by a nasal applicator delivers 50 µg of  
ciclesonide and 444 µg of olopatadine hydrochloride (equivalent to  
400 µg olopatadine).

ACCESSION NUMBER: 2006:977107 CAPLUS  
DOCUMENT NUMBER: 145:363526  
TITLE: Novel combination of ciclesonide and  
olopatadine  
INVENTOR(S): Mueller, Helgert; Salyer, Mark W.  
PATENT ASSIGNEE(S): Altana Pharma AG, Germany  
SOURCE: PCT Int. Appl., 18pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006097458	A1	20060921	WO 2006-EP60682	20060314
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2005-661672P P 20050315  
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Equivalent pharmacokinetics of the active metabolite of  
ciclesonide with and without use of the AeroChamber Plus spacer  
for inhalation  
AB Background: Ciclesonide is an inhaled corticosteroid that  
provides safe and effective control of persistent asthma.  
Ciclesonide is administered as an aerosol soln. in a  
metered-dose inhaler, using hydrofluoroalkane-134a as a propellant. It is

activated in the lung to form its only active metabolite, desisobutyryl-ciclesonide (des-CIC). A spacer may be used in combination with the hydrofluoroalkane metered-dose inhaler (HFA-MDI) to maintain inhaled corticosteroid delivery to the lung in patients with poor inhalation technique. Objective: To determine if the pharmacokinetics of des-CIC and ciclesonide are altered when a spacer is used for ciclesonide inhalation. Methods: A randomised, open-label, 2-period crossover, single-center pharmacokinetic study was conducted in 30 patients with asthma (forced expiratory volume in 1 s  $\geq 70\%$  predicted). A single dose of ciclesonide (320 $\mu$ g exactuator; equivalent to 400 $\mu$ g ex-valve) was administered via the HFA-MDI with and without an AeroChamber Plus spacer (Trudell Medical International, London, ON, Canada). Serum concns. of ciclesonide and des-CIC were measured before inhalation and at various intervals until 14 h after treatment using high-performance liquid chromatog. with tandem mass spectrometric detection. Results: The pharmacokinetic properties of the active metabolite, des-CIC, were equivalent after inhalation of ciclesonide with and without the AeroChamber Plus spacer. Point ests. and 90% confidence intervals (CIs) for the ratio of des-CIC pharmacokinetic properties in the presence or absence of a spacer were within the conventional bioequivalence range of 0.80-1.25 (area under the serum concentration time curve from time zero to infinity 0.96 [0.85, 1.07];

peak

serum concentration 1.05 [0.94, 1.18]; elimination half-life 1.04 [0.92, 1.18]).

Furthermore, there was no relevant difference in the point estimate and 90% CI of the difference of the time to reach peak serum concentration of des-CIC with or without a spacer. Conclusion: The AeroChamber Plus spacer did not influence the pharmacokinetics of the pharmacol. active des-CIC. Thus, systemic exposure to the active metabolite is similar when ciclesonide is inhaled with or without a spacer. Furthermore, these results are indicative of comparable lung deposition of ciclesonide in both the presence and absence of a spacer.

ACCESSION NUMBER: 2006:823728 CAPLUS  
TITLE: Equivalent pharmacokinetics of the active metabolite of ciclesonide with and without use of the AeroChamber Plus spacer for inhalation  
AUTHOR(S): Drollmann, Anton; Nave, Ruediger; Steinijans, Volker W.; Baumgaertner, Eugen; Bethke, Thomas D.  
CORPORATE SOURCE: ALTANA Pharma AG, Konstanz, Germany  
SOURCE: Clinical Pharmacokinetics (2006), 45(7), 729-736  
CODEN: CPKNDH; ISSN: 0312-5963  
PUBLISHER: Adis International Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN

TI Ciclesonide patch for treating buccal ulcer

AB The patch for treating buccal ulcer comprises adhesive layer containing ciclesonide 0.1-0.6 mg and insol. protecting layer made of acrylic acid resin or ethylcellulose membrane. Method for formulating comprises (1) preparing adhesive layer, in which adhesive material e.g. PVP is dissolved in ethanol followed by adding ciclesonide, hydroxypropyl cellulose, carbopol and dextrin, meshing, drying and mixing with magnesium stearate, (2) preparing waterproof layer, in which acrylic acid resin or ethylcellulose is dissolved in ethanol obtaining 3% soln., and ethylcellulose, yellow aluminum lakes and filler e.g. titanium oxide are mixed and added to the soln. obtaining soft material followed by meshing, drying and mixing with magnesium stearate, (3) tablet-pressing the two granules to obtain double-layer tablets.

ACCESSION NUMBER: 2006:819606 CAPLUS  
DOCUMENT NUMBER: 145:321580

TITLE: Ciclesonide patch for treating buccal ulcer  
 INVENTOR(S): Mou, Caihua; Dai, Zhaoming  
 PATENT ASSIGNEE(S): Chongqing Pharmaceutical Research Institute Co., Ltd.,  
 Peop. Rep. China; Shanghai Fosun Pharmaceutical  
 (Group) Co., Ltd.  
 SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 8pp.  
 CODEN: CNXXEV  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CN 1813769	A	20060809	CN 2005-10057406	20051129
PRIORITY APPLN. INFO.:			CN 2005-10057406	20051129

L10 ANSWER 6 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN

TI Two-Dimensional and Three-Dimensional Imaging Show Ciclesonide  
 Has High Lung Deposition and Peripheral Distribution: A Nonrandomized  
 Study in Healthy Volunteers

AB Drug deposition is an important factor that contributes to safety and  
 efficacy outcomes of inhaled steroid therapy. Ciclesonide is a  
 nonhalogenated, inhaled corticosteroid under investigation for the  
 treatment of asthma. Therefore, this study was performed to assess lung  
 deposition of ciclesonide. Technetium-99m (99mTc)-labeled  
 ciclesonide (where the 99mTc-label is phys. dissolved in the  
 ciclesonide-hydrofluoroalkane [HFA] soln. aerosol)  
 inhaled by healthy volunteers was analyzed by two-dimensional (2-D) and  
 three-dimensional (3-D) imaging to determine lung deposition. Six healthy  
 volunteers inhaled one puff of 40 µg (ex-actuator, equivalent to 50 µg  
 ex-valve) ciclesonide for 2-D imaging, and two healthy  
 volunteers inhaled 10 puffs of 40 µg ciclesonide for 2-D and  
 3-D imaging. The ciclesonide aerosol was administered via  
 metered-dose inhaler (MDI) containing HFA-134a as propellant. The ex-actuator  
 mean ( $\pm$  standard deviation) deposition of ciclesonide in the  
 lungs was higher ( $52\% \pm 11\%$ ) than in the mouth/pharynx ( $38\% \pm 14\%$ ).  
 Two-dimensional and 3-D imaging showed that ciclesonide reached  
 all regions of the lung. Mean percent deposition in peripheral regions  
 (47% and 34%) was higher than in lower central regions (17% and 30%), as  
 revealed by 3-D and 2-D imaging, resp. Inhalation of up to 400 µg of  
 ciclesonide produced no drug-related side effects. In conclusion,  
 ciclesonide administered via metered-dose inhaler using HFA-134a  
 as a propellant provided high lung deposition ( $>50\%$ ), greater distribution  
 throughout peripheral regions of the lungs, and relatively low  
 oropharyngeal deposition.

ACCESSION NUMBER: 2006:614496 CAPLUS

DOCUMENT NUMBER: 145:477610

TITLE: Two-Dimensional and Three-Dimensional Imaging Show  
 Ciclesonide Has High Lung Deposition and  
 Peripheral Distribution: A Nonrandomized Study in  
 Healthy Volunteers

AUTHOR(S): Leach, Chet L.; Bethke, Thomas D.; Boudreau, Robert  
 J.; Hasselquist, Bruce E.; Drollmann, Anton; Davidson,  
 Patricia; Wurst, Wilhelm

CORPORATE SOURCE: Lovelace Respiratory Research Institute, New Mexico.,  
 Albuquerque, USA

SOURCE: Journal of Aerosol Medicine (2006), 19(2), 117-126  
 CODEN: JAEMEP; ISSN: 0894-2684

PUBLISHER: Mary Ann Liebert, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN

TI Inhaled glucocorticoids of the third generation: ciclesonide

AB A review. Inhaled glucocorticoids are the mainstay of persistent asthma therapy today. Although the currently available drugs in this class have a reasonable therapeutic ratio, systemic side effects associated with long-term use, over-dosage as well as treatment of children are still a cause for concern (reduced bone growth in the young, osteoporosis, glucose intolerance, suppression of the hypothalamic-pituitary-adrenal [HPA] axis, disorders of lipid metabolism, skin bruising and thinning, cataract formation and glaucoma). In addition, local side effect (oropharyngeal candidiasis, dysphonia, and pharyngitis) may decrease patients drug compliance. Ciclesonide (CIC; C32H44O7, mol. weight: 540), a novel, inhaled glucocorticoid of the third generation ("intelligent corticosteroid"), shows a superior safety profile compared with other drugs of this class. The drug is administered via a metered-dose inhaler (MDI) in a soln. of hydrofluoroalkane (HFA-134a) propellant. Moreover, the generation of small, highly respirable particles leads to a significant pulmonary deposition between 50 and 60 % (mean: 52 %) of the administered dose being equally distributed from central to small airways. CIC was designed with several favorable pharmacokinetic characteristics such as low oral bioavailability, rapid clearance, and high serum protein binding (> 99 %) that almost completely prevent freely circulating, active unbound drug. CIC is inhaled as an inactive parent compound or prodrug, which is then hydrolyzed (esterases) to its active form, desisobutyryl-CIC (des-CIC) in the lower airways ("on site"-activation) while being only minimally converted to des-CIC in the oropharynx. Des-CIC, with a receptor-binding affinity 12 times that of dexamethasone, has one of the highest receptor-binding affinities for an inhaled glucocorticoid (relative receptor affinity: 1,200). Furthermore, des-CIC possesses equivalent anti-inflammatory efficacy compared with established inhaled glucocorticoids. The recommended dose for the treatment of asthma bronchiale is 80 µg (low), 160 µg (medium), or a multi-fold of 160 µg (high) administered as 1 or 2 puffs once daily. Due to the selective activation in the lower airways, adverse oropharyngeal effects are rare. Due to its selectivity for the lower airways and its pharmacol. properties, CIC increases the therapeutic options in the treatment of asthma bronchiale. Ciclesonide may be an important addition to the armamentarium of anti-inflammatory agents for the treatment of asthma bronchiale, in particular in pediatric medicine where anti-inflammatory treatment is only introduced cautiously due to the fear of potential adverse effects.

ACCESSION NUMBER: 2006:431591 CAPLUS

DOCUMENT NUMBER: 144:445438

TITLE: Inhaled glucocorticoids of the third generation:  
ciclesonide

AUTHOR(S): Kroegel, Claus; Reissig, Angelika; Walter, Robert;  
Foerster, Martin; Henzgen, Margot

CORPORATE SOURCE: Jena, Germany

SOURCE: Arzneimitteltherapie (2006), 24(3), 73-83

CODEN: ARZTEZ; ISSN: 0723-6913

PUBLISHER: Wissenschaftliche Verlagsgesellschaft mbH

DOCUMENT TYPE: Journal; General Review

LANGUAGE: German

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 40 CAPLUS COPYRIGHT 2006 ACS on STN

TI A stable aerosol solution containing glucocorticoids suitable for oral or nasal inhalation

AB Aerosol solution formulations containing glucocorticosteroids stabilized by adding water or a mixture of water and citric acid, avoiding corrosion of the elements of container under standard storage conditions are described. The formulations comprise 0.05 to 1.0% by weight of a glucocorticoid having a

C-20 ketone and OH group in carbons 17 and/or 21 as active substance; 0.10 to 3% by weight of a selected stabilizer selected between water, or a mixture of water and organic acid selected between citric acid and tartaric acid; a cosolvent in amount sufficient to solubilize the active substance; optionally a surfactant; and propellant in sufficient amount to achieve 100% by weight of the finished solution. Glucocorticosteroids having a C-20 ketone and an OH group at the C-17 and/or 21 position with varying substituents, have many well-known therapeutic uses, especially based upon their anti-inflammatory activity. This types of steroids, glucocorticosteroids, and their pharmaceutical formulations are useful in the treatment of several diseases including bronchial disorders and inflammatory conditions. Preferably, the glucocorticoid is selected between triamcinolone acetonide, budesonide, dexamethasone and betamethasone 17-valerate. A method for stabilizing aerosol pharmaceutical solution formulations containing glucocorticoids susceptible to oxidative degradation

and

use of a stabilizer selected between water and a mixture of water and organic acid selected between citric acid and tartaric acid are also described. For example, an aerosol composition containing 10 mL of a solution of 150 mg of budesonide in 50 mL of ethanol and 174 mL water showed an increase in budesonide stability in presence of 0.333 g aluminum oxide compared to the composition without addition of water. The budesonide percentage found after

22 h

of storage at 75° were 14.7% and 4.9% for the aerosol formulations with and without water, resp.

ACCESSION NUMBER: 2005:393969 CAPLUS  
DOCUMENT NUMBER: 142:417209  
TITLE: A stable aerosol solution containing glucocorticoids suitable for oral or nasal inhalation  
INVENTOR(S): Vega, Julio Cesar; De Bonis, Fabian  
PATENT ASSIGNEE(S): Laboratorio Pablo Cassara S.R.L., Argent.  
SOURCE: Eur. Pat. Appl., 13 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1527772	A1	20050504	EP 2004-19514	20040817
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004003316	A	20050621	BR 2004-3316	20040819
US 2005095206	A1	20050505	US 2004-943403	20040917
PRIORITY APPLN. INFO.:			AR 2003-103969	A 20031030
REFERENCE COUNT:	8	THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT		

=> d 18 1-3 TI AB IBIB HITSTR

L8 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Pharmaceutical solution formulations for pressurized metered dose inhalers  
AB A method for delivering 2 or more active drug substances to the lungs by inhalation from a single pressurized metered dose inhaler product, the inhaler containing a HFA/cosolvent based solution formulation wherein all the active drug substances are fully dissolved in the formulation is disclosed. Thus, a matrix of formulations containing (12 µg/µL) formoterol fumarate was prepared in HFA 134a containing 12% EtOH. The solns. were stable for 2 years stored at 4°.

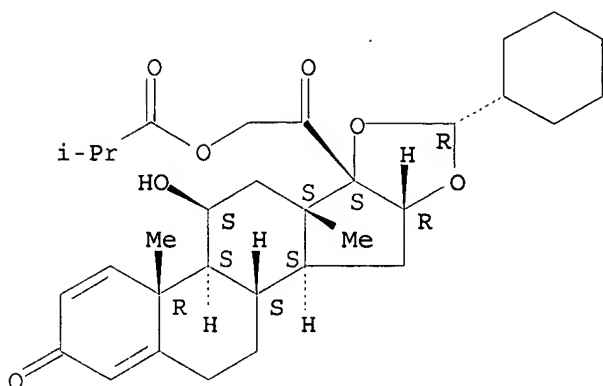
ACCESSION NUMBER: 2006:1209938 CAPLUS  
TITLE: Pharmaceutical solution formulations for pressurized metered dose inhalers  
INVENTOR(S): Lewis, David Andrew; Meakin, Brian John; Brambilla, Gaetano  
PATENT ASSIGNEE(S): Chiesi Farmaceutici S.p.A., Italy  
SOURCE: U.S. Pat. Appl. Publ., 15pp., Cont.-in-part of U.S. Ser. No. 289,479.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006257324	A1	20061116	US 2006-408026	20060421
WO 2001089480	A1	20011129	WO 2000-EP4635	20000522
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 2002025299	A1	20020228	US 2001-860689	20010521
US 6716414	B2	20040406		
US 2004047809	A1	20040311	US 2003-640005	20030814
US 7018618	B2	20060328		
US 2006083693	A1	20060420	US 2005-289479	20051130
PRIORITY APPLN. INFO.:			WO 2000-EP4635	A 20000522
			US 2001-860689	A1 20010521
			US 2003-640005	A1 20030814
			US 2005-289479	A2 20051130

IT 126544-47-6, Ciclesonide  
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pharmaceutical soln. formulations for pressurized metered dose inhalers)  
RN. 126544-47-6 CAPLUS  
CN Pregna-1,4-diene-3,20-dione, 16,17-[[[R]-cyclohexylmethylene]bis(oxy)]-11-hydroxy-21-(2-methyl-1-oxopropoxy)-, (11β,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





L8 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

TI A stable aerosol solution containing glucocorticoids suitable for oral or nasal inhalation

AB Aerosol solution formulations containing glucocorticosteroids stabilized by adding water or a mixture of water and citric acid, avoiding corrosion of the elements of container under standard storage conditions are described. The formulations comprise 0.05 to 1.0% by weight of a glucocorticoid having a C-20 ketone and OH group in carbons 17 and/or 21 as active substance; 0.10 to 3% by weight of a selected stabilizer selected between water, or a mixture of water and organic acid selected between citric acid and tartaric acid; a cosolvent in amount sufficient to solubilize the active substance; optionally a surfactant; and propellant in sufficient amount to achieve 100% by weight of the finished solution. Glucocorticosteroids having a C-20 ketone and an OH group at the C-17 and/or 21 position with varying substituents, have many well-known therapeutic uses, especially based upon their anti-inflammatory activity. This types of steroids, glucocorticosteroids, and their pharmaceutical formulations are useful in the treatment of several diseases including bronchial disorders and inflammatory conditions. Preferably, the glucocorticoid is selected between triamcinolone acetonide, budesonide, dexamethasone and betamethasone 17-valerate. A method for stabilizing aerosol pharmaceutical solution formulations containing glucocorticoids susceptible to oxidative degradation

and

use of a stabilizer selected between water and a mixture of water and organic acid selected between citric acid and tartaric acid are also described. For example, an aerosol composition containing 10 mL of a solution of 150 mg of budesonide in 50 mL of ethanol and 174 mL water showed an increase in budesonide stability in presence of 0.333 g aluminum oxide compared to the composition without addition of water. The budesonide percentage found after

22 h

of storage at 75° were 14.7% and 4.9% for the aerosol formulations with and without water, resp.

ACCESSION NUMBER: 2005:393969 CAPLUS

DOCUMENT NUMBER: 142:417209

TITLE: A stable aerosol solution containing glucocorticoids suitable for oral or nasal inhalation

INVENTOR(S): Vega, Julio Cesar; De Bonis, Fabian

PATENT ASSIGNEE(S): Laboratorio Pablo Cassara S.R.L., Argent.

SOURCE: Eur. Pat. Appl., 13 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

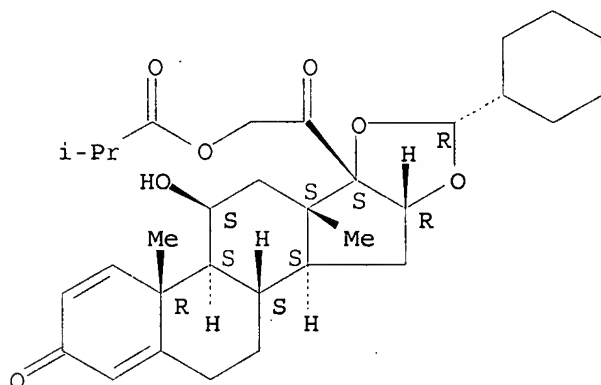
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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EP 1527772 A1 20050504 EP 2004-19514 20040817  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR  
 BR 2004003316 A 20050621 BR 2004-3316 20040819  
 US 2005095206 A1 20050505 US 2004-943403 20040917  
 PRIORITY APPLN. INFO.: AR 2003-103969 A 20031030  
 IT 126544-47-6, Ciclesonide  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (stable aerosol soln. containing glucocorticoids suitable for  
 oral or nasal inhalation)  
 RN 126544-47-6 CAPLUS  
 CN Pregna-1,4-diene-3,20-dione, 16,17-[[[(R)-cyclohexylmethylene]bis(oxy)]-11-  
 hydroxy-21-(2-methyl-1-oxopropoxy)-, (11 $\beta$ ,16 $\alpha$ )- (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Formoterol and ciclesonide combination  
 AB This invention relates to pharmaceutical compns. containing combinations of  
 formoterol and ciclesonide and the use of such  
 pharmaceutical compns. in medicine, in particular in the prophylaxis and  
 treatment of respiratory disease. For example, micronized  
 ciclesonide (1.428 g) was dispersed in a soln. of 1.07 g  
 ethanol/250 g TG 227 propellant liquefied by cooling to a temperature of  
 approx.  
 -50° in a stainless steel vessel. Formoterol fumarate  
 dihydrate (0.043 g) was dispersed into 200 g TG 227 filled into a batching  
 vessel and liquefied by cooling to a temperature of approx. -50°. The  
 ciclesonide suspension in ethanol/TG 227 was then transferred into  
 the batching vessel containing formoterol fumarate/TG 227. The  
 suspension was homogenized and TG 227 was added to a total weight of 500 g.  
 While cooling and stirring the suspension was filled in aluminum cans and  
 a 50  $\mu$ L metering valve was crimped into place. Each actuation of 70 mg  
 delivers 200  $\mu$ g of ciclesonide and 6  $\mu$ g of  
 formoterol fumarate.

ACCESSION NUMBER: 2004:1124652 CAPLUS  
 DOCUMENT NUMBER: 142:62732  
 TITLE: Formoterol and ciclesonide combination  
 INVENTOR(S): Dietzel, Klaus; Mueller, Helgert  
 PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany  
 SOURCE: PCT Int. Appl., 18 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110460	A1	20041223	WO 2004-EP51067	20040609
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004246819	A1	20041223	AU 2004-246819	20040609
CA 2528479	AA	20041223	CA 2004-2528479	20040609
EP 1635845	A1	20060322	EP 2004-741761	20040609
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
JP 2006527237	T2	20061130	JP 2006-516140	20040609
US 2006127323	A1	20060615	US 2005-559383	20051206
PRIORITY APPLN. INFO.:			EP 2003-13510	A 20030613
			WO 2004-EP51067	W 20040609

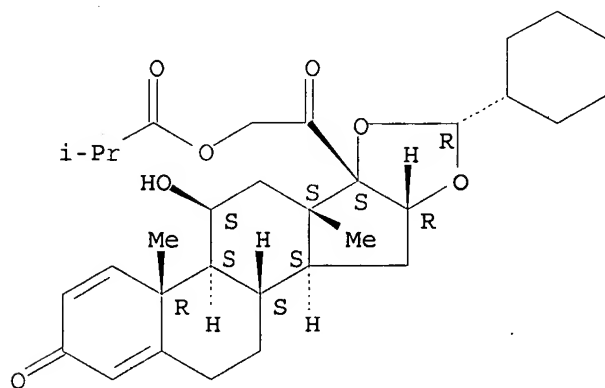
IT 126544-47-6, Ciclesonide

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(formoterol and ciclesonide inhalation compns. for treatment of respiratory disease)

RN 126544-47-6 CAPLUS

CN Pregna-1,4-diene-3,20-dione, 16,17-[[[(R)-cyclohexylmethylene]bis(oxy)]-11-hydroxy-21-(2-methyl-1-oxopropoxy)-, (11 $\beta$ ,16 $\alpha$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

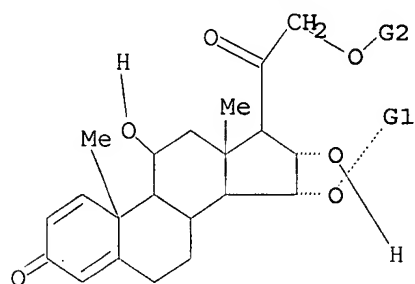
Uploading C:\Program Files\Stnexp\Queries\10\_510147 Steroid Structure.str

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



G1 n-Pr, i-Pr, n-Bu, i-Bu, s-Bu, t-Bu, Ph, Ak

G2 CO<sub>2</sub>H, COOH, C(O)CH<sub>3</sub>, [@1]

Structure attributes must be viewed using STN Express query preparation.

## Refine Search

### Search Results -

Terms	Documents
L2 and (suspension same formoterol)	12

Database:

US Pre-Grant Publication Full-Text Database  
 US Patents Full-Text Database  
 US OCR Full-Text Database  
 EPO Abstracts Database  
 JPO Abstracts Database  
 Derwent World Patents Index  
 IBM Technical Disclosure Bulletins

Search:

L3





### Search History

DATE: Friday, December 08, 2006

[Purge Queries](#)[Printable Copy](#)[Create Case](#)

<u>Set</u> <u>Name</u> side by side	<u>Query</u>	<u>Hit</u> <u>Count</u>	<u>Set</u> <u>Name</u> result set
	<i>DB=PGPB,USPT,USOC,EPAB,JPAB,DWPI,TDBD; PLUR=YES; OP=OR</i>		
<u>L3</u>	L2 and (suspension same formoterol)	12	<u>L3</u>
<u>L2</u>	suspension and (inhaler or MDI or dispens\$) and (coat\$ same (fluoropolymer or "fluorocarbon polymer" or (fluor\$ near4 polymer)))	449	<u>L2</u>
<u>L1</u>	akehurst and suspension and MDI and (coat\$ same (fluoropolymer or "fluorocarbon polymer" or (fluor\$ near4 polymer)))	15	<u>L1</u>

END OF SEARCH HISTORY